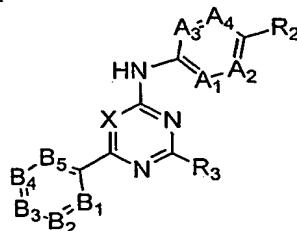


What is claimed is:

1. A compound of the formula:



or a pharmaceutically acceptable form thereof, wherein:

X is CR_x or N;

R_x is hydrogen, halogen, nitro, C₁-C₆alkyl, amino, cyano, C₁-C₆alkylsulfonyl, mono- or di-(C₁-C₆alkyl)sulfonamido or mono- or di-(C₁-C₆alkyl)amino;

A₁ is CH or N;

A₂, A₃ and A₄ are independently CH, CR_a or N, such that no more than two of A₁-A₄ are N;

B₁ and B₅ are independently CH or N;

B₂, B₃ and B₄ are independently CH or CR_b, such that at least one of B₂, B₃ and B₄ is CR_b;

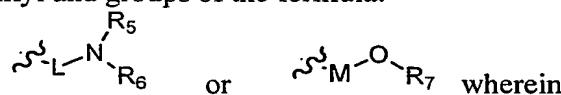
R_a and R_b are independently selected at each occurrence from halogen, hydroxy, amino, cyano, -COOH, C₁-C₆alkyl, C₃-C₇cycloalkyl, C₁-C₆alkoxy, C₂-C₆alkyl ether, C₂-C₆alkanoyl, C₃-C₆alkanone, C₁-C₆haloalkyl, C₁-C₆haloalkoxy, mono- and di-(C₁-C₆alkyl)amino, C₁-C₆alkylsulfonyl, mono- and di-(C₁-C₆alkyl)sulfonamido, and mono- and di-(C₁-C₆alkyl)aminocarbonyl;

R₂ is C₁-C₆alkyl, C₃-C₇cycloalkyl, C₁-C₆haloalkyl or C₁-C₆alkylsulfonyl; and

R₃ is selected from:

(i) cyano; and

(ii) C₁-C₆alkyl and groups of the formula:



L is a bond or C₁-C₆alkylene;

M is a bond or C₁-C₆alkylene;

R₅ and R₆ are:

(a) independently chosen from hydrogen, C₁-C₆alkyl, C₁-C₆alkenyl, C₃-C₈cycloalkyl and groups that are joined to L to form a 5- to 7-membered heterocycloalkyl, such that at least one of R₅ and R₆ is not hydrogen; or

(b) joined to form a 5- to 7-membered heterocycloalkyl; and

R₇ is hydrogen, C₁-C₆alkyl, C₁-C₆alkenyl, C₃-C₈cycloalkyl, C₂-C₆alkanoyl, or a group that is joined to M to form a 5- to 7-membered heterocycloalkyl;

wherein each of (ii) is substituted with from 0 to 3 substituents independently chosen from halogen, cyano, amino, hydroxy, C₁-C₆alkyl, C₃-C₈cycloalkyl, C₁-C₆alkoxy, C₁-C₆haloalkyl, and mono- and di-(C₁-C₆alkyl)amino.

2. A compound or pharmaceutically acceptable form thereof according to claim 1, wherein one or two of B₂, B₃ and B₄ are CR_b, and wherein each R_b is independently chosen from halogen, amino, cyano, -COOH, C₁-C₆alkyl, C₁-C₆alkoxy, C₁-C₆haloalkyl, C₁-C₆haloalkoxy, C₁-C₆alkylsulfonyl and mono- and di-(C₁-C₆alkyl)sulfonamido.

3. A compound or pharmaceutically acceptable form thereof according to claim 2, wherein B₂ is CR_b.

4. A compound or pharmaceutically acceptable form thereof according to claim 2, wherein one of B₂, B₃ and B₄ is CR_b, and wherein R_b is chosen from fluoro, chloro, cyano, methyl, methoxy, trifluoromethoxy, ethoxy, or trifluoromethyl.

5. A compound or pharmaceutically acceptable form thereof according to claim 2, wherein at least one R_b is C₁-C₄alkoxy.

6. A compound or pharmaceutically acceptable form thereof according to any one of claims 1-5, wherein R₃ is C₁-C₆alkyl.

7. A compound or pharmaceutically acceptable form thereof according to any one of claims 1-5, wherein R₃ is C₂-C₆alkyl ether, pyrrolidinyl, morpholinyl, piperidinyl, piperazinyl or azepanyl, each of which is substituted with from 0 to 3 substituents independently chosen from halogen, cyano, amino, hydroxy and C₁-C₄alkyl.

8. A compound or pharmaceutically acceptable form thereof according to any one of claims 1-7, wherein R₂ is C₁-C₄alkyl, C₃-C₇cycloalkyl or C₁-C₄haloalkyl.

9. A compound or pharmaceutically acceptable form thereof according to any one of claims 1-8, wherein each R_a is independently chosen from amino, cyano, halogen, C₁-C₆haloalkyl, C₁-C₆alkoxy, C₁-C₆haloalkoxy, C₁-C₆alkylsulfonyl and mono- and di-(C₁-C₆alkyl)sulfonamido.

10. A compound or pharmaceutically acceptable form thereof according to claim 9, wherein A₁ and A₂ are CH, and A₃ and A₄ are independently CH or CR_a.

11. A compound or pharmaceutically acceptable form thereof according to claim 10, wherein A₁, A₂, A₃ and A₄ are each CH.

12. A compound or pharmaceutically acceptable form thereof according to any one of claims 1-11, wherein X is CR_x and R_x is hydrogen, halogen, nitro, methylsulfonyl, methyl, ethyl or amino.

13. A compound or pharmaceutically acceptable form thereof according to claim 12, wherein R_x is halogen, nitro, methylsulfonyl, methyl, ethyl or amino.

14. A compound according to claim 1, having the formula:



wherein:

B₁ and B₅ are independently CH or N;

B₂, B₃ and B₄ are independently CH or CR_b, wherein each R_b is independently chosen from halogen, amino, cyano, -COOH, C₁-C₆alkyl, C₁-C₆alkoxy, C₁-C₆haloalkyl, C₁-C₆alkylsulfonyl and mono- and di-(C₁-C₆alkyl)sulfonamide; and

R₃ is C₁-C₄alkyl, C₂-C₆alkyl ether, mono- or di-(C₁-C₆alkyl)amino, pyrrolidinyl, morpholinyl, piperidinyl or piperazinyl, each of which is substituted with from 0 to 2 substituents independently chosen from halogen, amino, hydroxy, C₁-C₄alkyl, cyano, C₁-C₄alkoxy, C₁-C₄haloalkyl and mono- and di-(C₁-C₆alkyl)amino.

15. A compound according to claim 14, wherein:

B₂ is carbon substituted with halogen, amino, cyano, C₁-C₆alkyl, C₁-C₆alkoxy, C₁-C₆haloalkoxy or C₁-C₆haloalkyl; and

R₂ is *t*-butyl or trifluoromethyl.

16. A compound or pharmaceutically acceptable form thereof according to claim 1, wherein the compound is:

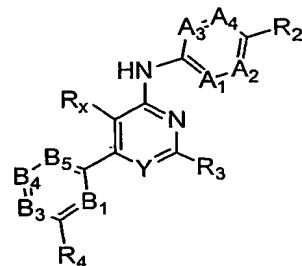
(4-*tert*-Butyl-phenyl)-[4-isobutoxymethyl-6-(3-methoxy-phenyl)-[1,3,5]triazin-2-yl]-amine;

(4-*tert*-Butyl-phenyl)-[6-(3-methoxy-phenyl)-2,5-dimethyl-pyrimidin-4-yl]-amine;

(4-*tert*-Butyl-phenyl)-[6-(3-methoxy-phenyl)-5-methyl-2-morpholin-4-yl-pyrimidin-4-yl]-amine;

[6-(3-Methoxy-phenyl)-2,5-dimethyl-pyrimidin-4-yl]- (4-trifluoromethyl-phenyl)-amine;
 [6-(3-Methoxy-phenyl)-5-methyl-2-morpholin-4-yl-pyrimidin-4-yl]- (4-trifluoromethyl-phenyl)-amine; or
 (4-tert-Butyl-phenyl)-[5-methanesulfonyl-6-(3-methoxy-phenyl)-2-methyl-pyrimidin-4-yl]-amine.

17. A compound of the formula:



or a pharmaceutically acceptable form thereof, wherein:

R_x is halogen, C₁-C₆alkyl, amino, nitro, cyano, C₁-C₆alkylsulfonyl, mono- or di-(C₁-C₆alkyl)sulfonamido, or mono- or di-(C₁-C₆alkyl)amino;

Y is CR_y or N;

R_y is hydrogen or C₁-C₄alkyl;

A₁, A₂, A₃ and A₄ are independently CH or N;

B₁ is CH, CR_b or N;

B₃ and B₄ are independently CH or CR_b;

B₅ is CH or N;

R_b is independently selected at each occurrence from halogen, hydroxy, amino, cyano, -COOH, C₁-C₆alkyl, C₃-C₇cycloalkyl, C₁-C₆alkoxy, C₂-C₆alkyl ether, C₂-C₆alkanoyl, C₃-C₆alkanone, C₁-C₆haloalkyl, C₁-C₆haloalkoxy, mono- and di-(C₁-C₆alkyl)amino, C₁-C₆alkylsulfonyl, mono- and di-(C₁-C₆alkyl)sulfonamido, and mono- and di-(C₁-C₆alkyl)aminocarbonyl;

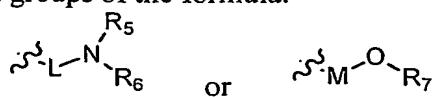
R₂ is halogen, amino, C₁-C₆alkyl, C₃-C₇cycloalkyl, C₁-C₆haloalkyl, C₁-C₆haloalkoxy, C₁-C₆alkylsulfonyl, or mono- or di-(C₁-C₆alkyl)sulfonamido;

R₄ is halogen, cyano, amino, C₁-C₆alkyl, C₁-C₆alkoxy or C₁-C₆haloalkoxy;

R₃ is selected from:

(i) hydrogen, halogen and cyano; and

(ii) C₁-C₆alkyl and groups of the formula:



wherein

L is a bond or C₁-C₆alkylene;

M is a bond or C₁-C₆alkylene;

R₅ and R₆ are:

- (a) independently chosen from hydrogen, C₁-C₆alkyl, C₁-C₆alkenyl, C₃-C₈cycloalkyl, and groups that are joined to L to form a 5- to 7-membered heterocycloalkyl, such that at least one of R₅ and R₆ is not hydrogen; or
- (b) joined to form a 5- to 7-membered heterocycloalkyl; and

R₇ is hydrogen, C₁-C₆alkyl, C₁-C₆alkenyl, C₃-C₈cycloalkyl, C₂-C₆alkanoyl, or a group that is joined to L to form a 5- to 7-membered heterocycloalkyl;

wherein each of (ii) is substituted with from 0 to 3 substituents independently chosen from halogen, cyano, amino, hydroxy, C₁-C₆alkyl, C₃-C₈cycloalkyl, C₁-C₆alkoxy, C₁-C₆haloalkyl, and mono- and di-(C₁-C₆alkyl)amino.

18. A compound or pharmaceutically acceptable form thereof according to claim 17, wherein R_x is halogen, nitro, methylsulfonyl, methyl, ethyl or amino.

19. A compound or pharmaceutically acceptable form thereof according to claim 17 or claim 18, wherein R₄ is halogen, cyano, C₁-C₄alkyl, C₁-C₄alkoxy or C₁-C₄haloalkoxy.

20. A compound or pharmaceutically acceptable form thereof according to claim 19, wherein R₄ is C₁-C₂alkoxy or C₁-C₂haloalkoxy.

21. A compound or pharmaceutically acceptable form thereof according to any one of claims 17-20, wherein B₁ is CH or N.

22. A compound or pharmaceutically acceptable form thereof according to any one of claims 17-20, wherein if R₄ is C₁-C₆alkoxy then at least one of B₃ and B₄ is not carbon substituted with C₁-C₆alkoxy.

23. A compound or pharmaceutically acceptable form thereof according to any one of claims 17-22, wherein R₃ is hydrogen.

24. A compound or pharmaceutically acceptable form thereof according to any one of claims 17-22, wherein R₃ is C₁-C₆alkyl.

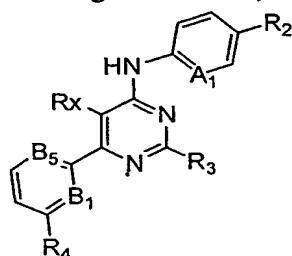
25. A compound or pharmaceutically acceptable form thereof according to any one of claims 17-24, wherein R₂ is C₁-C₄alkyl, C₃-C₇cycloalkyl or C₁-C₄haloalkyl.

26. A compound or pharmaceutically acceptable form thereof according to any one of claims 17-25, wherein each R_a is independently chosen from amino, cyano, halogen, C_1 - C_6 haloalkyl, C_1 - C_6 alkoxy, C_1 - C_6 haloalkoxy, C_1 - C_6 alkylsulfonyl and mono- and di-(C_1 - C_6 alkyl)sulfonamido.

27. A compound or pharmaceutically acceptable form thereof according to any one of claims 17-25, wherein A_1 is N or CH, and A_2 , A_3 and A_4 are each CH.

28. A compound or pharmaceutically acceptable form thereof according to any one of claims 17-27, wherein Y is N.

29. A compound according to claim 17, having the formula:



wherein:

R_2 is C_1 - C_6 alkyl, C_3 - C_7 cycloalkyl, C_1 - C_4 haloalkyl, C_1 - C_4 haloalkoxy, C_1 - C_4 alkylsulfonyl, or mono- or di-(C_1 - C_4 alkyl)sulfonamido;

R_3 is hydrogen, halogen, C_1 - C_4 alkyl, mono- or di-(C_1 - C_6 alkyl)amino, pyrrolidinyl, morpholinyl, piperidinyl or piperazinyl, each of which is substituted with from 0 to 2 substituents independently chosen from halogen, amino, hydroxy, C_1 - C_4 alkyl, cyano, C_1 - C_4 alkoxy, C_1 - C_4 haloalkyl and mono- and di-(C_1 - C_6 alkyl)amino;

R_4 is halogen, cyano, C_1 - C_4 alkyl, C_1 - C_4 alkoxy or C_1 - C_4 haloalkoxy; and
 B_1 and B_5 are independently CH or N.

30. A compound according to claim 29, wherein:

R_4 is C_1 - C_2 alkoxy or C_1 - C_2 haloalkoxy; and

R_2 is *t*-butyl or trifluoromethyl.

31. A compound according to claim 17, wherein the compound is:

2-[6-(3-Methoxy-phenyl)-5-nitro-pyrimidin-4-ylamino]-phenol;

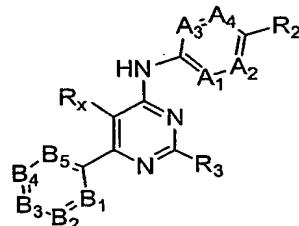
2-[6-(3-Methoxy-phenyl)-5-nitro-pyrimidin-4-ylamino]-5-trifluoromethyl-phenol;

(4-*tert*-Butyl-phenyl)-[5-ethyl-6-(3-methoxy-phenyl)-pyrimidin-4-yl]-amine;

(4-*tert*-Butyl-phenyl)-[5-methanesulfonyl-6-(3-methoxy-phenyl)-2-methyl-pyrimidin-4-yl]-amine;

(4-*tert*-Butyl-phenyl)-[6-(3-methoxy-phenyl)-2,5-dimethyl-pyrimidin-4-yl]-amine;
 (4-*tert*-Butyl-phenyl)-[6-(3-methoxy-phenyl)-5-methyl-2-morpholin-4-yl-pyrimidin-4-yl]-amine;
 (4-*tert*-Butyl-phenyl)-[6-(3-methoxy-phenyl)-5-methyl-pyrimidin-4-yl]-amine;
 (4-*tert*-Butyl-phenyl)-[6-(5-methoxy-pyridin-3-yl)-5-methyl-pyrimidin-4-yl]-amine;
 [5-Ethyl-6-(3-methoxy-phenyl)-pyrimidin-4-yl]-[4-trifluoromethyl-phenyl]-amine;
 [6-(3-Methoxy-phenyl)-2,5-dimethyl-pyrimidin-4-yl]-[4-trifluoromethyl-phenyl]-amine;
 [6-(3-Methoxy-phenyl)-5-methyl-2-morpholin-4-yl-pyrimidin-4-yl]-[4-trifluoromethyl-phenyl]-amine;
 6-(3-Methoxy-phenyl)-N⁴-(4-trifluoromethyl-phenyl)-pyrimidine-4,5-diamine;
 N⁴-(4-Cyclohexyl-phenyl)-6-(3-methoxy-phenyl)-pyrimidine-4,5-diamine; or
 N⁴-(4-*tert*-Butyl-phenyl)-6-(3-methoxy-phenyl)-pyrimidine-4,5-diamine.

32. A compound of the formula:



or a pharmaceutically acceptable form thereof, wherein:

R_x is hydrogen, halogen, C₁-C₆alkyl, amino, nitro, C₁-C₆alkylsulfonyl, mono- or di-(C₁-C₆alkyl)sulfonamido, or mono- or di-(C₁-C₆alkyl)amino or mono- or di-(C₁-C₆alkyl)amino;

A₁, A₂, A₃ and A₄ are independently CH or N;

B₁ - B₅ are independently CH, CR_b, or N, such that one and only one of B₁ - B₅ is CR_b;

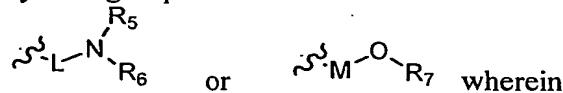
R_b is halogen, hydroxy, amino, cyano, -COOH, C₁-C₆alkyl, C₃-C₇cycloalkyl, C₁-C₆alkoxy, C₂-C₆alkyl ether, C₂-C₆alkanoyl, C₃-C₆alkanone, C₁-C₆haloalkyl, C₁-C₆haloalkoxy, mono- or di-(C₁-C₆alkyl)amino, C₁-C₆alkylsulfonyl, mono- and di-(C₁-C₆alkyl)sulfonamido, or mono- or di-(C₁-C₆alkyl)aminocarbonyl;

R₂ is halogen, C₁-C₆alkyl, C₃-C₇cycloalkyl, C₁-C₆haloalkyl, C₁-C₆haloalkoxy, C₁-C₆alkylsulfonyl, or mono- or di-(C₁-C₆alkyl)sulfonamido; and

R₃ is selected from:

(i) hydrogen, halogen and cyano; and

(ii) C₁-C₆alkyl and groups of the formula:



L is a bond or C₁-C₆alkylene;

M is C₁-C₆alkylene;

R₅ and R₆ are:

- (a) independently chosen from hydrogen, C₁-C₆alkyl, C₁-C₆alkenyl, C₃-C₈cycloalkyl and groups that are joined to L to form a 5- to 7-membered heterocycloalkyl, such that at least one of R₅ and R₆ is not hydrogen; or
- (b) joined to form a 5- to 7-membered heterocycloalkyl; and

R₇ is hydrogen, C₁-C₆alkyl, C₁-C₆alkenyl, C₃-C₈cycloalkyl, C₂-C₆alkanoyl, or a group that is joined to M to form a 5- to 7-membered heterocycloalkyl;

wherein each of (ii) is substituted with from 0 to 3 substituents independently chosen from halogen, cyano, amino, hydroxy, C₁-C₆alkyl, C₃-C₈cycloalkyl, C₁-C₆alkoxy, C₁-C₆haloalkyl, and mono- and di-(C₁-C₆alkyl)amino.

33. A compound or pharmaceutically acceptable form thereof according to claim 32, wherein R_x is hydrogen, halogen, nitro, methyl, ethyl, methylsulfonyl or amino.

34. A compound or pharmaceutically acceptable form thereof according to claim 32 or claim 33, wherein R_b is cyano, C₁-C₄alkyl, C₁-C₄alkoxy or C₁-C₄haloalkoxy.

35. A compound or pharmaceutically acceptable form thereof according to any one of claims 32-34, wherein R₂ is C₁-C₄alkyl, C₃-C₇cycloalkyl or C₁-C₄haloalkyl.

36. A compound or pharmaceutically acceptable form thereof according to any one of claims 32-36, wherein R₃ is hydrogen.

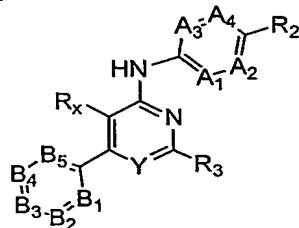
37. A compound or pharmaceutically acceptable form thereof according to any one of claims 32-36, wherein R₃ is C₁-C₆alkyl, amino, mono- or di-(C₁-C₄alkyl)amino, pyrrolidinyl, morpholinyl, piperidinyl, piperazinyl or azepanyl, each of which is substituted with from 0 to 3 substituents independently chosen from halogen, cyano, amino, hydroxy and C₁-C₄alkyl.

38. A compound or pharmaceutically acceptable form thereof according to any one of claims 32-37, wherein B₁ and B₅ are independently CH or N.

39. A compound or pharmaceutically acceptable form thereof according to claim 32, wherein the compound is:
2-[6-(3-Methoxy-phenyl)-5-nitro-pyrimidin-4-ylamino]-5-trifluoromethyl-phenol;
2-[6-(3-Methoxy-phenyl)-5-nitro-pyrimidin-4-ylamino]-phenol;
(4-*tert*-Butyl-phenyl)-(6-m-tolyl-pyrimidin-4-yl)-amine;

(4-*tert*-Butyl-phenyl)-[6-(2-methoxy-phenyl)-pyrimidin-4-yl]-amine;
 (4-*tert*-Butyl-phenyl)-[6-(2-trifluoromethyl-phenyl)-pyrimidin-4-yl]-amine;
 (4-*tert*-Butyl-phenyl)-[6-(3-ethoxy-phenyl)-pyrimidin-4-yl]-amine;
 6-(3-Methoxy-phenyl)-N⁴-(4-trifluoromethyl-phenyl)-pyrimidine-4,5-diamine;
 (4-*tert*-Butyl-phenyl)-[6-(3-fluoro-phenyl)-pyrimidin-4-yl]-amine;
 (4-*tert*-Butyl-phenyl)-[6-(3-methoxy-phenyl)-pyrimidin-4-yl]-amine;
 (4-*tert*-Butyl-phenyl)-[6-(3-trifluoromethoxy-phenyl)-pyrimidin-4-yl]-amine;
 (4-*tert*-Butyl-phenyl)-[6-(4-chloro-phenyl)-pyrimidin-4-yl]-amine;
 (4-*tert*-Butyl-phenyl)-[5-methanesulfonyl-6-(3-methoxy-phenyl)-2-methyl-pyrimidin-4-yl]-amine;
 (4-*tert*-Butyl-phenyl)-[6-(4-methoxy-phenyl)-pyrimidin-4-yl]-amine; or
 N⁴-(4-Cyclohexyl-phenyl)-6-(3-methoxy-phenyl)-pyrimidine-4,5-diamine.

41. A compound of the formula:



or a pharmaceutically acceptable form thereof, wherein:

R_x is halogen, C₁-C₆alkyl, cyano, C₁-C₆alkylsulfonyl, mono- or di-(C₁-C₆alkyl)sulfonamido or mono- or di-(C₁-C₆alkyl)amino;

Y is CR_y or N;

R_y is hydrogen or C₁-C₄alkyl;

A₁-A₄ are independently CH, CR_a or N;

B₁, B₂, B₃, B₄ and B₅ are independently CH, CR_b or N;

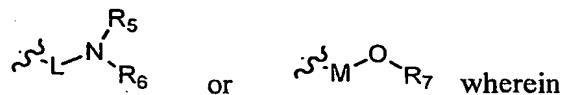
R_a and R_b are independently selected at each occurrence from halogen, hydroxy, amino, cyano, -COOH, C₁-C₆alkyl, C₃-C₇cycloalkyl, C₁-C₆alkoxy, C₂-C₆alkyl ether, C₂-C₆alkanoyl, C₃-C₆alkanone, C₁-C₆haloalkyl, C₁-C₆haloalkoxy, mono- and di-(C₁-C₆alkyl)amino, C₁-C₆alkylsulfonyl, mono- and di-(C₁-C₆alkyl)sulfonamido, and mono- and di-(C₁-C₆alkyl)aminocarbonyl;

R₂ is halogen, hydroxy, amino, cyano, C₁-C₆alkyl, C₃-C₇cycloalkyl, C₂-C₆alkyl ether, C₂-C₆alkanoyl, C₃-C₆alkanone, C₁-C₆haloalkyl, C₁-C₆haloalkoxy, mono- or di-(C₁-C₆alkyl)amino, C₁-C₆alkylsulfonyl, mono- or di-(C₁-C₆alkyl)sulfonamido, or mono- or di-(C₁-C₆alkyl)aminocarbonyl; and

R₃ is selected from:

(i) hydrogen, halogen and cyano; and

(ii) C₁-C₆aminoalkyl and groups of the formula:



L is a bond or C₁-C₆alkylene;

R₅ and R₆ are:

(a) independently chosen from hydrogen, C₁-C₆alkyl, C₁-C₆alkenyl and C₃-C₈cycloalkyl; or

(b) joined to form a 5- to 7-membered heterocycloalkyl;

such that if L is C₁-C₆alkyl, then R₅ and R₆ are joined to form a heterocycloalkyl;

M is a bond or C₁-C₆alkylene; and

R₇ is hydrogen, C₁-C₆alkyl, C₁-C₆alkenyl, C₃-C₈cycloalkyl, C₂-C₆alkanoyl, or a group that is joined to M to form a 5- to 7-membered heterocycloalkyl;

wherein each of (ii) is substituted with from 0 to 3 substituents independently chosen from halogen, cyano, amino, hydroxy, C₁-C₆alkyl, C₃-C₈cycloalkyl, C₁-C₆alkoxy, C₁-C₆haloalkyl, and mono- and di-(C₁-C₆alkyl)amino.

42. A compound or pharmaceutically acceptable form thereof according to claim 41, wherein R_x is halogen, methyl, ethyl, nitro, methylsulfonyl or amino.

43. A compound or pharmaceutically acceptable form thereof according to claim 41 or claim 42, wherein Y is N.

44. A compound or pharmaceutically acceptable form thereof according to any one of claims 41-43, wherein A₁ and A₃ are independently CH or N.

45. A compound or pharmaceutically acceptable form thereof according to any one of claims 41-44, wherein each R_b is independently cyano, C₁-C₄alkyl, C₁-C₄alkoxy or C₁-C₄haloalkoxy.

46. A compound or pharmaceutically acceptable form thereof according to any one of claims 41-45, wherein R₂ is halogen, amino, cyano, C₁-C₄alkyl, C₃-C₇cycloalkyl or C₁-C₄haloalkyl.

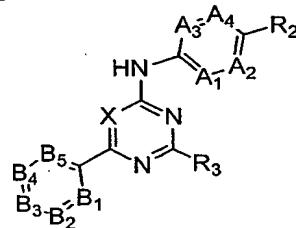
47. A compound or pharmaceutically acceptable form thereof according to any one of claims 41-46, wherein R₃ is hydrogen, C₁-C₄alkylether or morpholino.

48. A compound or pharmaceutically acceptable form thereof according to claim 41, wherein the compound is:

(4-*tert*-Butyl-phenyl)-[5-ethyl-6-(3-methoxy-phenyl)-pyrimidin-4-yl]-amine;

(4-*tert*-Butyl-phenyl)-[5-methanesulfonyl-6-(3-methoxy-phenyl)-2-methyl-pyrimidin-4-yl]-amine;
 (4-*tert*-Butyl-phenyl)-[6-(3-methoxy-phenyl)-2,5-dimethyl-pyrimidin-4-yl]-amine;
 (4-*tert*-Butyl-phenyl)-[6-(3-methoxy-phenyl)-5-methyl-2-morpholin-4-yl-pyrimidin-4-yl]-amine;
 (4-*tert*-Butyl-phenyl)-[6-(3-methoxy-phenyl)-5-methyl-pyrimidin-4-yl]-amine;
 (4-*tert*-Butyl-phenyl)-[6-(5-methoxy-pyridin-3-yl)-5-methyl-pyrimidin-4-yl]-amine;
 [5-Ethyl-6-(3-methoxy-phenyl)-pyrimidin-4-yl]- (4-trifluoromethyl-phenyl)-amine;
 [6-(3-Methoxy-phenyl)-2,5-dimethyl-pyrimidin-4-yl]- (4-trifluoromethyl-phenyl)-amine;
 [6-(3-Methoxy-phenyl)-5-methyl-2-morpholin-4-yl-pyrimidin-4-yl]- (4-trifluoromethyl-phenyl)-amine;
 2-[6-(3-Methoxy-phenyl)-5-nitro-pyrimidin-4-ylamino]-5-trifluoromethyl-phenol;
 2-[6-(3-Methoxy-phenyl)-5-nitro-pyrimidin-4-ylamino]-phenol;
 6-(3-Methoxy-phenyl)-N⁴-(4-trifluoromethyl-phenyl)-pyrimidine-4,5-diamine;
 N⁴-(4-Cyclohexyl-phenyl)-6-(3-methoxy-phenyl)-pyrimidine-4,5-diamine; or
 N⁴-(4-*tert*-Butyl-phenyl)-6-(3-methoxy-phenyl)-pyrimidine-4,5-diamine.

49. A compound of the formula:



or a pharmaceutically acceptable form thereof, wherein:

X is CR_x or N;

R_x is hydrogen, halogen, C₁-C₆alkyl, cyano, amino, nitro, C₁-C₆alkylsulfonyl, mono- or di-(C₁-C₆alkyl)sulfonamido or mono- or di-(C₁-C₆alkyl)amino;

A₁ and A₃ are independently CH or N;

A₂ and A₄ are independently CH, CR_a or N;

B₁, B₂, B₃, B₄ and B₅ are independently CH, CR_b or N;

R_a and R_b are independently selected at each occurrence from halogen, hydroxy, amino, cyano, -COOH, C₁-C₆alkyl, C₃-C₇cycloalkyl, C₁-C₆alkoxy, C₂-C₆alkyl ether, C₂-C₆alkanoyl, C₃-C₆alkanone, C₁-C₆haloalkyl, C₁-C₆haloalkoxy, mono- and di-(C₁-C₆alkyl)amino, C₁-C₆alkylsulfonyl, mono- and di-(C₁-C₆alkyl)sulfonamido, and mono- and di-(C₁-C₆alkyl)aminocarbonyl;

R₂ is hydroxy, cyano, C₂-C₆alkyl, C₃-C₇cycloalkyl, C₂-C₆alkyl ether, C₂-C₆alkanoyl, C₃-C₆alkanone, C₁-C₆haloalkyl, C₁-C₆haloalkoxy, mono- or di-(C₁-C₆alkyl)amino, C₁-

C_6 alkylsulfonyl, mono- or di- $(C_1-C_6$ alkyl)sulfonamido, or mono- or di- $(C_1-C_6$ alkyl)aminocarbonyl; and
 R_3 is C_1-C_6 alkyl.

50. A compound or pharmaceutically acceptable form thereof according to claim 49, wherein X is CR_x and R_x is hydrogen, halogen, methyl, ethyl, nitro, methylsulfonyl or amino.

51. A compound or pharmaceutically acceptable form thereof according to claim 49 or claim 50, wherein each R_b is independently cyano, C_1-C_4 alkyl, C_1-C_4 alkoxy or C_1-C_4 haloalkoxy.

52. A compound or pharmaceutically acceptable form thereof according to claim 51, wherein:

B_1 and B_5 are independently CH or N;
at least one of B_2 , B_3 and B_4 is CR_b ; and
at least one R_b is C_1-C_4 alkoxy.

53. A compound or pharmaceutically acceptable form thereof according to any one of claims 49-52, wherein R_2 is isopropyl, t-butyl, trifluoromethyl or cyclohexyl

54. A compound or pharmaceutically acceptable form thereof according to any one of claims 49-53, wherein R_3 is methyl.

55. A compound or pharmaceutically acceptable form thereof according to claim 49, wherein the compound is: (4-*tert*-butyl-phenyl)-[5-methanesulfonyl-6-(3-methoxy-phenyl)-2-methyl-pyrimidin-4-yl]-amine; (4-*tert*-butyl-phenyl)-[6-(3-methoxy-phenyl)-2,5-dimethyl-pyrimidin-4-yl]-amine; or [6-(3-methoxy-phenyl)-2,5-dimethyl-pyrimidin-4-yl]-[4-trifluoromethyl-phenyl]-amine.

56. A compound or pharmaceutically acceptable form thereof according to any one of claims 1-49, wherein the compound exhibits no detectable agonist activity in an *in vitro* assay of capsaicin receptor agonism.

57. A compound or pharmaceutically acceptable form thereof according to any one of claims 1-49, wherein the compound has an IC_{50} value of 1 micromolar or less in a capsaicin receptor calcium mobilization assay.

58. A compound or pharmaceutically acceptable form thereof according to any one of claims 1-49, wherein the compound has an IC₅₀ value of 100 nanomolar or less in a capsaicin receptor calcium mobilization assay.

59. A compound or pharmaceutically acceptable form thereof according to any one of claims 1-49, wherein the compound has an IC₅₀ value of 10 nanomolar or less in a capsaicin receptor calcium mobilization assay.

60. A pharmaceutical composition, comprising at least one compound or pharmaceutically acceptable form thereof according to any one of claims 1-49, in combination with a physiologically acceptable carrier or excipient.

61. A pharmaceutical composition according to claim 60, wherein the composition is formulated as an injectible fluid, an aerosol, a cream, a gel, a pill, a capsule, a syrup or a transdermal patch.

62. A method for reducing calcium conductance of a cellular capsaicin receptor, comprising contacting a cell expressing a capsaicin receptor with at least one compound or pharmaceutically acceptable form thereof according to any one of claims 1-49, and thereby reducing calcium conductance of the capsaicin receptor.

63. A method according to claim 62, wherein the cell is contacted *in vivo* in an animal.

64. A method according to claim 63, wherein the cell is a neuronal cell.

65. A method according to claim 62, wherein the cell is a urothelial cell.

66. A method according to claim 63, wherein during contact the compound or pharmaceutically acceptable form thereof is present within a body fluid of the animal.

67. A method according to claim 63, wherein the compound or pharmaceutically acceptable form thereof is present in the blood of the animal at a concentration of 1 micromolar or less.

68. A method according to claim 67, wherein the compound is present in the blood of the animal at a concentration of 500 nanomolar or less.

69. A method according to claim 68, wherein the compound is present in the blood of the animal at a concentration of 100 nanomolar or less.

70. A method according to claim 63, wherein the animal is a human.
71. A method according to claim 63, wherein the compound or pharmaceutically acceptable form thereof is administered orally.
72. A method for inhibiting binding of vanilloid ligand to a capsaicin receptor *in vitro*, the method comprising contacting capsaicin receptor with at least one compound or pharmaceutically acceptable form thereof according to any one of claims 1-49, in an amount sufficient to detectably inhibit vanilloid ligand binding to capsaicin receptor.
73. A method for inhibiting binding of vanilloid ligand to a capsaicin receptor in a patient, the method comprising contacting cells expressing capsaicin receptor with at least one compound or pharmaceutically acceptable form thereof according to any one of claims 1-49, in an amount sufficient to detectably inhibit vanilloid ligand binding to cells expressing a cloned capsaicin receptor *in vitro*, and thereby inhibiting binding of vanilloid ligand to the capsaicin receptor in the patient.
74. A method according to claim 73, wherein the compound is present in the blood of the patient at a concentration of 1 micromolar or less.
75. A method for treating a condition responsive to capsaicin receptor modulation in a patient, comprising administering to the patient a capsaicin receptor modulatory amount of a compound or pharmaceutically acceptable form thereof according to any one of claims 1-49, and thereby alleviating the condition in the patient.
76. A method according to claim 75, wherein the patient is suffering from (i) exposure to capsaicin, (ii) burn or irritation due to exposure to heat, (iii) burns or irritation due to exposure to light, (iv) burn, bronchoconstriction or irritation due to exposure to tear gas, air pollutants or pepper spray, or (v) burn or irritation due to exposure to acid.
77. A method according to claim 75, wherein the condition is asthma or chronic obstructive pulmonary disease.
78. A method for treating pain in a patient, comprising administering to a patient suffering from pain a capsaicin receptor modulatory amount of at least one compound or pharmaceutically acceptable form thereof according to any one of claims 1, 17 or 33, and thereby alleviating pain in the patient.
79. A method according to claim 78, wherein the compound is present in the blood of the patient at a concentration of 1 micromolar or less.

80. A method according to claim 79, wherein the compound is present in the blood of the patient at a concentration of 500 nanomolar or less.

81. A method according to claim 79, wherein the compound is present in the blood of the patient at a concentration of 100 nanomolar or less.

82. A method according to claim 78, wherein the patient is suffering from neuropathic pain.

83. A method according to claim 78, wherein the pain is associated with a condition selected from: postmastectomy pain syndrome, stump pain, phantom limb pain, oral neuropathic pain, toothache, postherpetic neuralgia, diabetic neuropathy, reflex sympathetic dystrophy, trigeminal neuralgia, osteoarthritis, rheumatoid arthritis, fibromyalgia, Guillain-Barre syndrome, meralgia paresthetica, burning-mouth syndrome, bilateral peripheral neuropathy, causalgia, neuritis, neuronitis, neuralgia, AIDS-related neuropathy, MS-related neuropathy, spinal cord injury-related pain, surgery-related pain, musculoskeletal pain, back pain, headache, migraine, angina, labor, hemorrhoids, dyspepsia, Charcot's pains, intestinal gas, menstruation, cancer, venom exposure, irritable bowel syndrome, inflammatory bowel disease and trauma.

84. A method according to claim 78, wherein the patient is a human.

85. A method for treating itch in a patient, comprising administering to a patient a capsaicin receptor modulatory amount of a compound or pharmaceutically acceptable form thereof according to any one of claims 1-49, and thereby alleviating itch in the patient.

86. A method for treating cough or hiccup in a patient, comprising administering to a patient a capsaicin receptor modulatory amount of a compound or pharmaceutically acceptable form thereof according to any one of claims 1-49, and thereby alleviating cough or hiccup in the patient.

87. A method for treating urinary incontinence or overactive bladder in a patient, comprising administering to a patient a capsaicin receptor modulatory amount of a compound or pharmaceutically acceptable form thereof according to any one of claims 1-49, and thereby alleviating urinary incontinence or overactive bladder in the patient.

88. A method promoting weight loss in an obese patient, comprising administering to a patient a capsaicin receptor modulatory amount of a compound or pharmaceutically acceptable form thereof according to any one of claims 1-49, and thereby promoting weight loss in the patient.

89. A compound or pharmaceutically acceptable form thereof according to any one of claims 1-49, wherein the compound or form thereof is radiolabeled.

90. A method for determining the presence or absence of capsaicin receptor in a sample, comprising the steps of:

- (a) contacting a sample with a compound or pharmaceutically acceptable form thereof according to any one of claims 1-49, under conditions that permit binding of the compound to capsaicin receptor; and
- (b) detecting a level of the compound bound to capsaicin receptor, and therefrom determining the presence or absence of capsaicin receptor in the sample.

91. A method according to claim 90, wherein the compound is a radiolabeled compound according to claim 89, and wherein the step of detection comprises the steps of:

- (i) separating unbound compound from bound compound; and
- (ii) detecting the presence or absence of bound compound in the sample.

92. A packaged pharmaceutical preparation, comprising:

- (a) a pharmaceutical composition according to claim 60 in a container; and
- (b) instructions for using the composition to treat pain.

93. A packaged pharmaceutical preparation, comprising:

- (a) a pharmaceutical composition according to claim 60 in a container; and
- (b) instructions for using the composition to treat cough or hiccup.

94. A packaged pharmaceutical preparation, comprising:

- (a) a pharmaceutical composition according to claim 60 in a container; and
- (b) instructions for using the composition to treat obesity.

95. A packaged pharmaceutical preparation, comprising:

- (a) a pharmaceutical composition according to claim 60 in a container; and
- (b) instructions for using the composition to treat urinary incontinence or overactive bladder.

96. The use of a compound or form thereof according to any one of claims 1-49 for the manufacture of a medicament for the treatment of a condition responsive to capsaicin receptor modulation.

97. A use according to claim 96, wherein the condition is pain, asthma, chronic obstructive pulmonary disease, cough, hiccup, obesity, urinary incontinence, overactive bladder, exposure to capsaicin, burn or irritation due to exposure to heat, burn or irritation

due to exposure to light, burn, bronchoconstriction or irritation due to exposure to tear gas, air pollutants or pepper spray, or burn or irritation due to exposure to acid.